

ABSTRACT

The present invention relates to the histamine H2 receptor (H2R), a member of the G-protein-coupled heptahelical receptor family. This novel H2 receptor codes for a novel carboxy-terminal tail which imparts important regulatory functions to the receptor, e.g., in down-regulation, signal transduction, and in coupling the activity of the H2R to downstream effector molecules, such as G-protein-coupled receptor kinases (GRK). The present invention relates to all facets of this new form of the H2R receptor, including nucleic acids that encode it, H2R polypeptides, binding-partners thereto, as well as its use in research, diagnosis, drug discovery, validation, and targeting, therapy, and clinical medicine.